L Number	Hits	Search Text	DB	Time stamp
1	1097	544/364, 514/253.09	USPAT	2003/06/19 13:55
3	3792	thrombotic$	USPAT	2003/06/19 13:56
4	33	(544/364, 514/253.09) and thrombotic$	USPAT	2003/06/19 13:56

http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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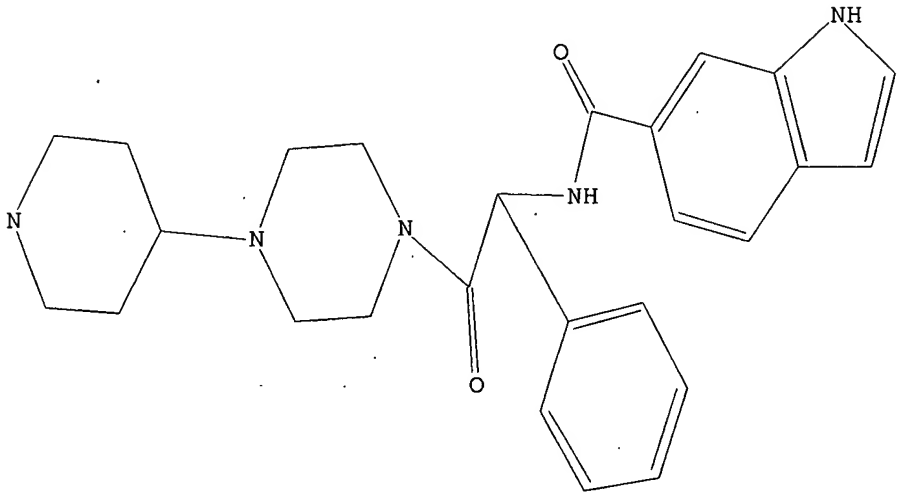
Uploading 10030187.str

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 13:48:23 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 7 TO ITERATE

100.0% PROCESSED 7 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 7 TO 298
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 13:48:30 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 113 TO ITERATE

100.0% PROCESSED 113 ITERATIONS 13 ANSWERS
SEARCH TIME: 00.00.01

L3 13 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	148.15	148.36

FILE 'CAPLUS' ENTERED AT 13:48:36 ON 19 JUN 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 19 Jun 2003 VOL 138 ISS 25
FILE LAST UPDATED: 18 Jun 2003 (20030618/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 4 L3

=> d ibib abs hitstr tot

L4 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2002:964343 CAPLUS
DOCUMENT NUMBER: 138:29109
TITLE: Preparation of crystal forms of antithrombotic piperazine derivative
INVENTOR(S): Engel, Gary Lowell; Diseroad, Benjamin Alan
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 19 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002100847	A2	20021219	WO 2002-US16569	20020606

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

WO 2001096323 A1 20011220 WO 2001-GB2553 20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:

WO 2001-GB2553 W 20010612
US 2001-339295P P 20011212
WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213

AB 1-(Indole-6-carbonyl-D-phenylglycinyl)-4-(1-methylpiperidin-4-yl)piperazine difumarate forms a stable cryst. salt and is an inhibitor of the serine protease and Factor Xa, useful in the treatment of cardiovascular disorders, esp. a thrombotic disorder.

IT **478279-46-8P**

RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prepn. of cryst. forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)

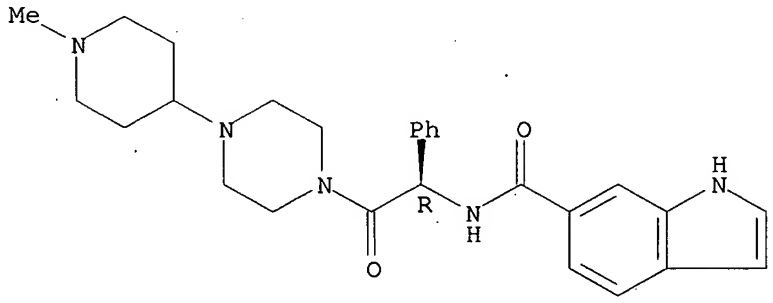
RN 478279-46-8 CAPLUS

CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

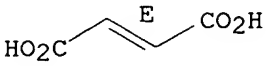
Absolute stereochemistry. Rotation (-).



CM 2

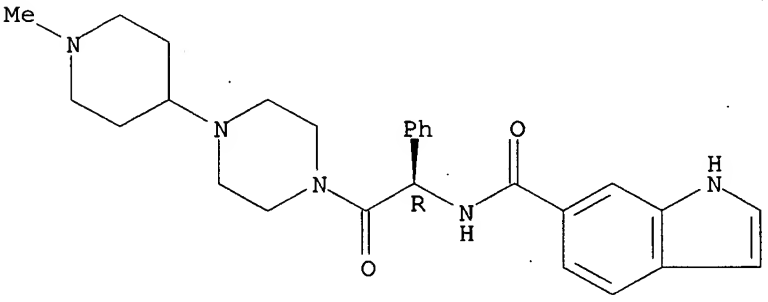
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



IT **313489-71-3**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of cryst. forms of antithrombotic (indolecarbonyl-phenylglycinyl)(methylpiperidinyl)piperazine difumarate)
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L4 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2001:923784 CAPLUS
DOCUMENT NUMBER: 136:54020
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Murray, Christopher William; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert; Sheehan, Scott Martin; Engel, David Birenbaum; Watson, Brian Morgan; Guzzo, Peter Robert; Mayer, Michael John
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 191 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001096323	A1	20011220	WO 2001-GB2553	20010612

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

WO 2000076971 A2 20001221 WO 2000-GB2302 20000613
WO 2000076971 A3 20010802
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

EP 1289972 A1 20030312 EP 2001-936686 20010612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003055246 A1 20030320 US 2002-30187 20020204
WO 2002100847 A2 20021219 WO 2002-US16569 20020606
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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NO 2002005665 A 20021125 NO 2002-5665 20021125

PRIORITY APPLN. INFO.:
WO 2000-GB2302 W 20000613
GB 2000-30304 A 20001213
GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2001-GB2553 W 20010612
US 2001-339295P P 20011212

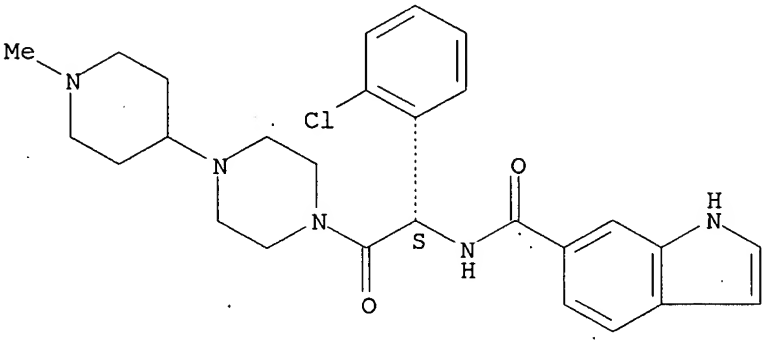
OTHER SOURCE(S): MARPAT 136:54020

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 is a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5- or 6-membered carbocyclic or heterocyclic ring, or substituted at the position alpha to X-X, with the proviso that R2 can not be aminoisoquinolyl; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group [at least one X is C, CO, CR1a or C(R1a)2], where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; -L-Lp(D)n is 4-substituted 1-piperazinecarbonyl] or their physiol.-tolerable salts were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(4-methoxybenzoyl-D-phenylglycinyl)-4-

phenethylpiperazine was prepd. in the first of 82 examples.

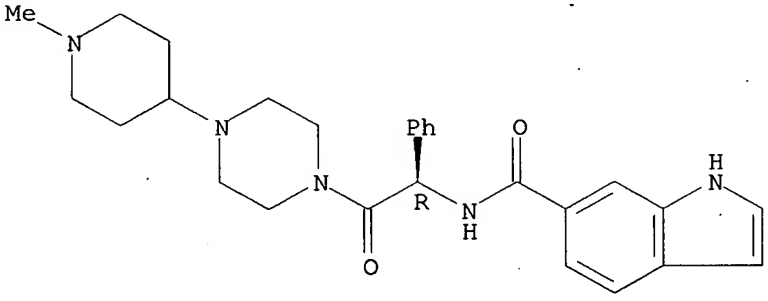
IT **381722-57-2P**
RL: BYP (Byproduct); PREP (Preparation)
(prepn. of amino acid derivs. as serine protease inhibitors)
RN 381722-57-2 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1S)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **313489-71-3P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

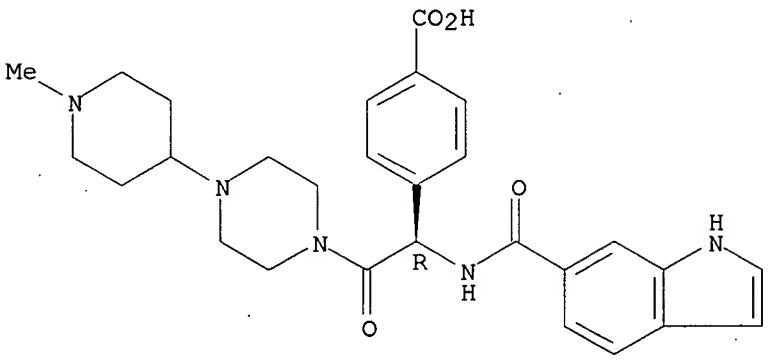
Absolute stereochemistry. Rotation (-).



IT **313488-33-4P 313489-72-4P 313489-73-5P**
381721-15-9P 381721-16-0P 381721-22-8P
381721-39-7P 381721-40-0P 381721-46-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)
RN 313488-33-4 CAPLUS
CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-

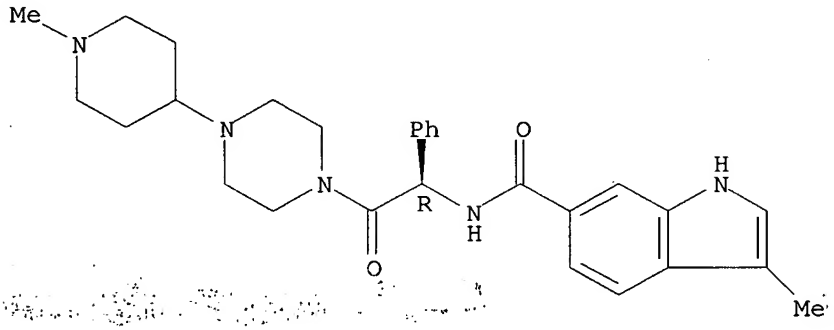
piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



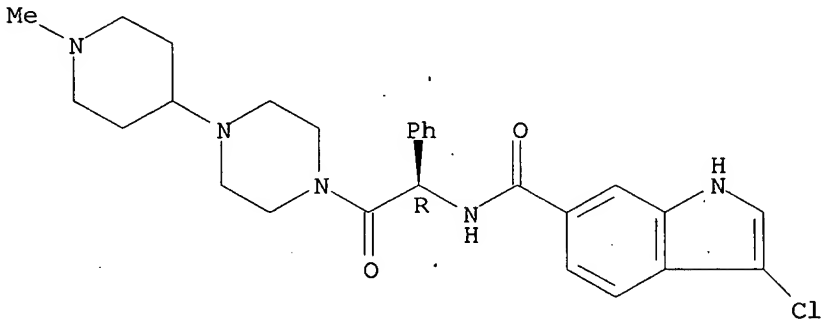
RN 313489-72-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



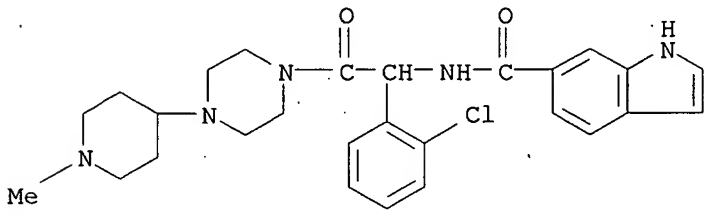
RN 313489-73-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 381721-15-9 CAPLUS

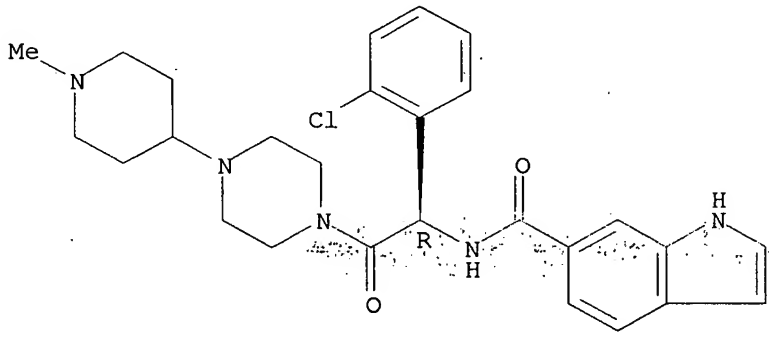
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

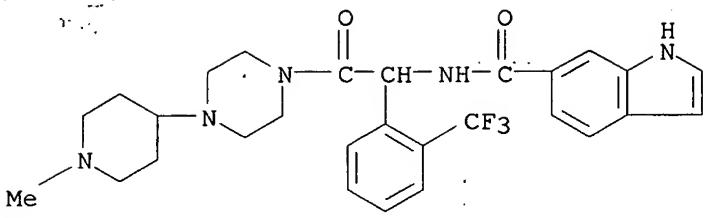
RN 381721-16-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

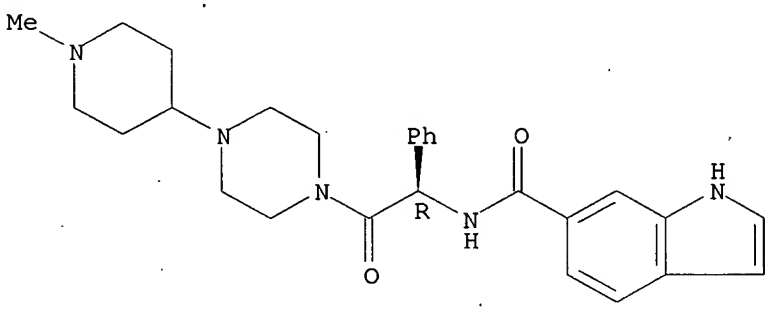
RN 381721-22-8 CAPLUS
CN 1H-Indole-6-carboxamide, N-[2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-[2-(trifluoromethyl)phenyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

RN 381721-39-7 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



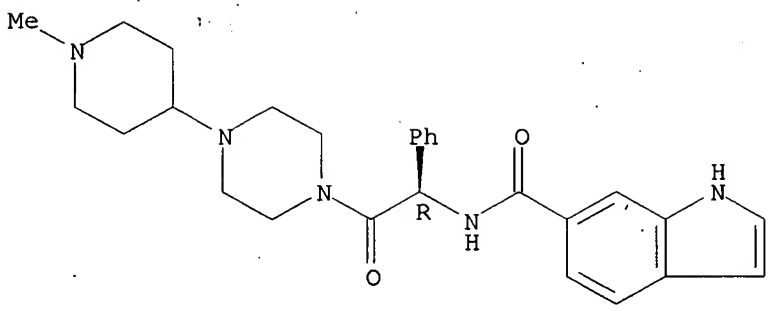
● HCl

RN 381721-40-0 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]-, (2Z)-butenedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 313489-71-3
CMF C27 H33 N5 O2

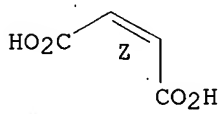
Absolute stereochemistry. Rotation (-).



CM 2

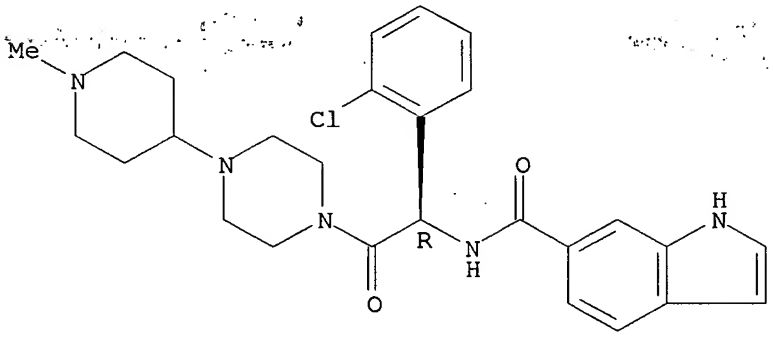
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

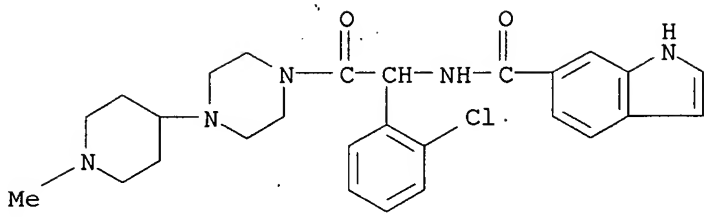


RN 381721-46-6 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **381722-56-1**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. of amino acid derivs. as serine protease inhibitors)
RN 381722-56-1 CAPLUS
CN 1H-Indole-6-carboxamide, N-[1-(2-chlorophenyl)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:900614 CAPLUS
DOCUMENT NUMBER: 134:56958
TITLE: Preparation of amino acid derivatives as serine protease inhibitors
INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Masters, John Joseph; Wiley, Michael Robert
PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited
SOURCE: PCT Int. Appl., 261 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 13
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076971	A2	20001221	WO 2000-GB2302	20000613
WO 2000076971	A3	20010802		
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WO 2001096323 A1 20011220 WO 2001-GB2553 20010612
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WO 2001096304 A1 20011220 WO 2001-GB2572 20010612
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EP 1289953 A1 20030312 EP 2001-938403 20010612
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EP 1289954 A1 20030312 EP 2001-940716 20010612
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US 2003078438 A1 20030424 US 2002-30189 20020204
US 2003109706 A1 20030612 US 2002-30188 20020204
NO 2002005665 A 20021125 NO 2002-5665 20021125

PRIORITY APPLN. INFO.: GB 1999-13823 A 19990614
US 1999-142064P P 19990702
GB 1999-18741 A 19990809
GB 1999-29553 A 19991214
WO 2000-GB2302 A 20000613
GB 2000-30303 A 20001213
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	WO 2001-GB2541	W	20010612
	WO 2001-GB2551	W	20010612
	WO 2001-GB2553	W	20010612
	WO 2001-GB2572	W	20010612

OTHER SOURCE(S): MARPAT 134:56958

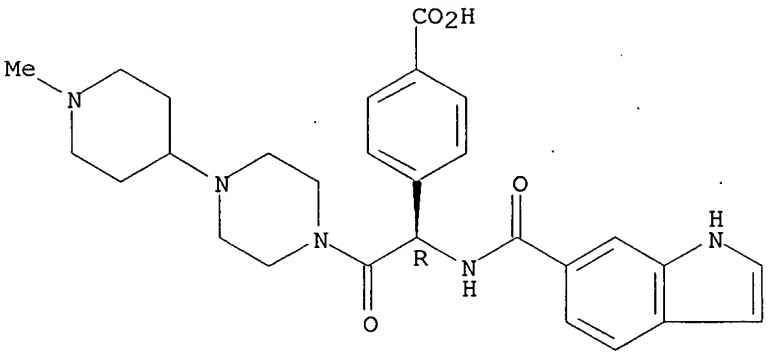
AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring or substituted at the position alpha to X-X; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT **313488-33-4P 313489-71-3P 313489-72-4P 313489-73-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

RN 313488-33-4 CAPLUS

CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

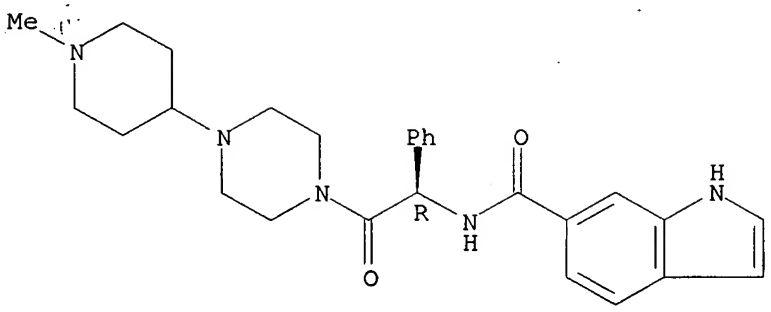
Absolute stereochemistry.



RN 313489-71-3 CAPLUS

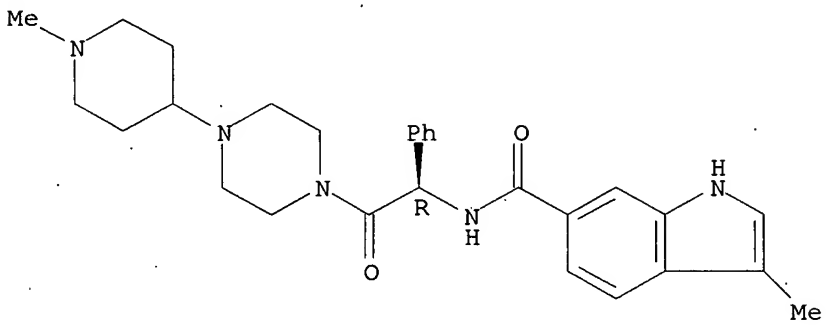
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 313489-72-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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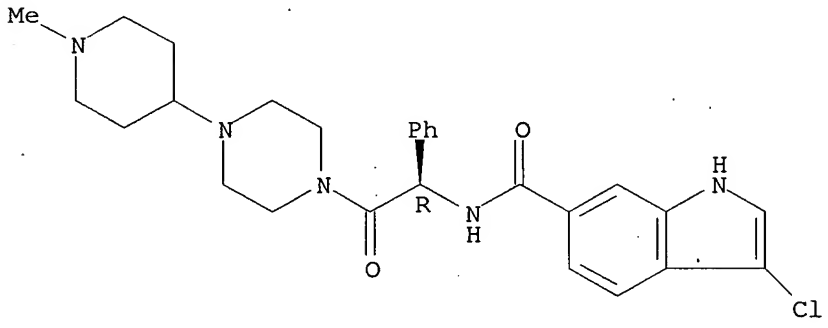
Absolute stereochemistry.



L4 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:900613 CAPLUS
DOCUMENT NUMBER: 134:56957
TITLE: Preparation of amino acid derivatives as serine protease inhibitors

INVENTOR(S): Liebeschuetz, John Walter; Lyons, Amanda Jane; Murray, Christopher William; Rimmer, Andrew David; Young, Stephen Clinton; Camp, Nicholas Paul; Jones, Stuart Donald; Morgan, Phillip John; Richards, Simon James; Wylie, William Alexander; Lively, Sarah Elizabeth; Harrison, Martin James; Waszkowycz, Bohdan; Masters, John Joseph; Wiley, Michael John

PATENT ASSIGNEE(S): Eli Lilly and Company, USA; Protherics Molecular Design Limited

SOURCE: PCT Int. Appl., 350 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 13

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000076970	A2	20001221	WO 2000-GB2296	20000613
WO 2000076970	A3	20010719		
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EP 1192135	A2	20020403	EP 2000-938912	20000613
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PRIORITY APPLN. INFO.:

GB 1999-13823	A	19990614
US 1999-142064P	P	19990702
GB 1999-18741	A	19990809
GB 1999-29552	A	19991214
GB 1999-29553	A	19991214
WO 2000-GB2296	W	20000613

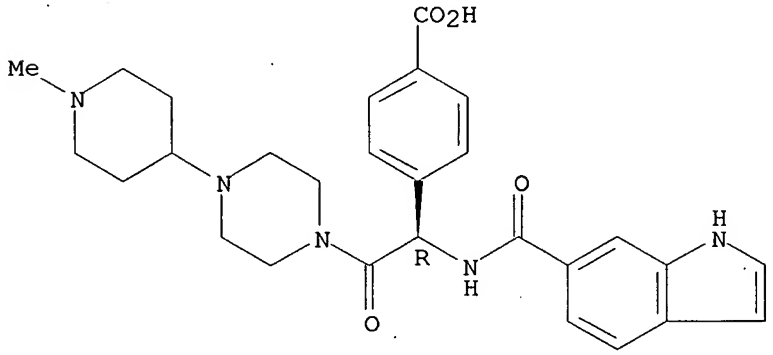
OTHER SOURCE(S): MARPAT 134:56957

AB Compds. R2-X-X-Y(Cy)-L-Lp(D)n [R2 represents a 5- or 6-membered arom. carbon ring optionally interrupted by a N, O or S ring atom, optionally substituted at the 3 and/or 4 position or forms a fused ring system at these positions, which is an optionally substituted 5 or 6 membered carbocyclic or heterocyclic ring; X is a C, N, O or S atom or a CO, CR1a, C(R1a)2 or NR1a group, where R1a represents H, OH, alkoxy, alkyl, aminoalkyl, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, alkylaminocarbonyl, alkoxycarbonylamino, acyloxymethoxycarbonyl or alkylamino optionally substituted by OH, alkylamino, alkoxy, oxo, aryl or cycloalkyl; L is an org. linker group contg. 1 to 5 backbone atoms selected from C, N, O and S, or a branched alkyl or cyclic group; Y is a N atom or a CR1b group (R1b defined as for R1a); Cy is an (un)substituted, (un)satd., mono- or polycyclic, homo- or heterocyclic group; Lp is a lipophilic org. group; D is a hydrogen bond donor group; n = 0-2] were prepd. for use as serine protease inhibitors. Compds. of the invention were found to significantly elongate the partial thromboplastin time (prothrombin time). Thus, 1-(3-amino-2-naphthoyl-D-phenylglycinyl)-4,4'-bispiperidine was prepd. and shown to double the prothrombin time at a concn. of 26 .mu.M.

IT **313488-33-4P 313489-71-3P 313489-72-4P 313489-73-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amino acid derivs. as serine protease inhibitors)

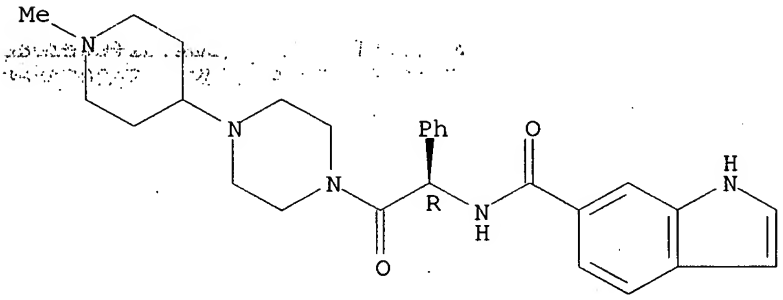
RN 313488-33-4 CAPLUS
CN Benzoic acid, 4-[(1R)-1-[(1H-indol-6-ylcarbonyl)amino]-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



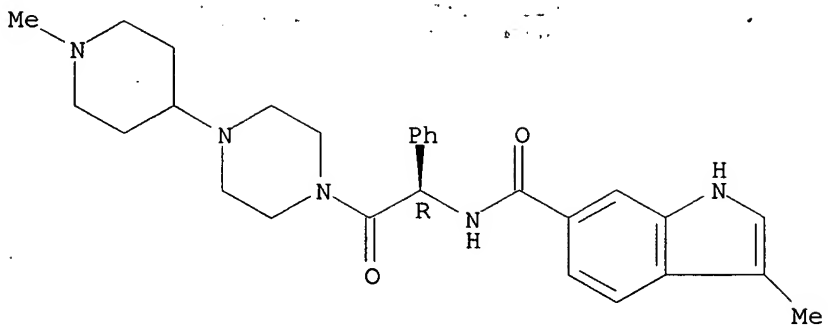
RN 313489-71-3 CAPLUS
CN 1H-Indole-6-carboxamide, N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



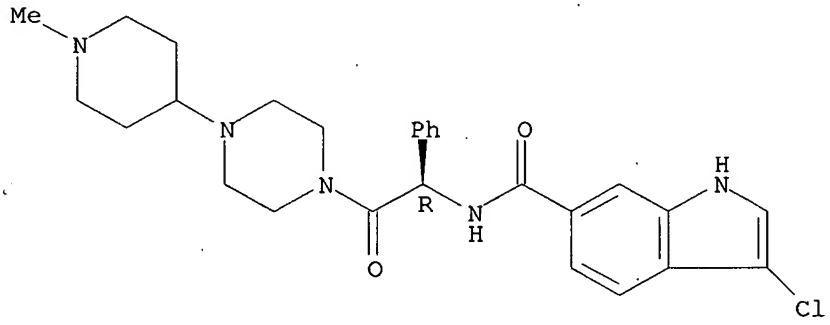
RN 313489-72-4 CAPLUS
CN 1H-Indole-6-carboxamide, 3-methyl-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 313489-73-5 CAPLUS
CN 1H-Indole-6-carboxamide, 3-chloro-N-[(1R)-2-[4-(1-methyl-4-piperidinyl)-1-piperazinyl]-2-oxo-1-phenylethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	18.56	166.92

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.60	-2.60

STN INTERNATIONAL LOGOFF AT 13:48:59 ON 19 JUN 2003